

STN SEARCH TRANSCRIPT

10/68/205

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***** Welcome to STN International *****

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 NEWS 5 NOV 30 PHAR reloaded with additional data
 NEWS 6 DEC 01 LISA now available on STN
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 NEWS 8 DEC 15 MEDLINE update schedule for December 2004
 NEWS 9 DEC 17 SLOOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
 NEWS 10 DEC 17 COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
 NEWS 11 DEC 17 SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
 NEWS 12 DEC 17 CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
 NEWS 13 DEC 17 THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
 NEWS 14 DEC 30 EPFULL: New patent full text database to be available on STN
 NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED
 NEWS 16 JAN 03 No connect-hour charges in EPFULL during January and February 2005

NEWS EXPRESS OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT MACINTOSH VERSION IS V6.0c(SNG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004

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 NEWS INTER General Internet Information
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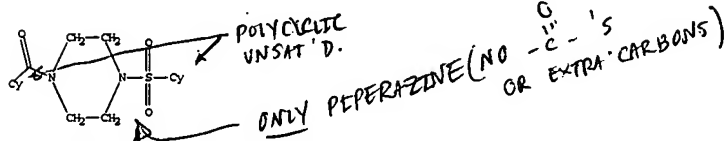
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FILE 'HOME' ENTERED AT 11:04:34 ON 10 JAN 2005

FILE REG	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	0:21	0:21



Structure attributes must be viewed using STN Express query preparation.

--> S L1
 SAMPLE SEARCH INITIATED 11:05:10 FILE 'REGISTRY'
 SAMPLE SCREEN SEARCH COMPLETED - 623 TO ITERATE
 100.0% PROCESSED 623 ITERATIONS 9 ANSWERS
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 10963 TO 13957
 PROJECTED ANSWERS: 9 TO 360

L2 9 SEA SSS SAM L1

FILE CAPLUS	SINCE FILE	TOTAL
COST IN U.S. DOLLARS	ENTRY	SESSION
FULL ESTIMATED COST	0:43	0:64

FILE 'CAPLUS' ENTERED AT 11:05:16 ON 10 JAN 2005
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FILE COVERS 1907 - 10 Jan 2005 VOL 142 ISS 3
 FILE LAST UPDATED: 9 Jan 2005 (20050109/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

--> S L2
 L3 2 L2

--> D 1-2

L3 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS ON STN
 AN 2001:769282 CAPLUS
 EN 135:313616
 TI Heterocyclic sulfonyl compounds and activated blood coagulation factor X

FILE 'REGISTRY' ENTERED AT 11:04:41 ON 10 JAN 2005
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 7 JAN 2005 HIGHEST RN 810025-80-0
 DICTIONARY FILE UPDATES: 7 JAN 2005 HIGHEST RN 810025-80-0

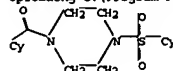
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

--> Uploading C:\Program Files\Stnexp\Queries\HABTE SULFONYL DERIVATIVES.str



chain nodes : 7 8 9 10 11 12 13
 ring nodes : 1 2 3 4 5 6
 chain bonds : 1-7 4-11 7-8 7-9 7-10 11-12 11-13
 ring bonds : 1-2 1-6 2-3 3-4 4-5 5-6
 exact/norm bonds : 1-2 1-6 1-7 2-3 3-4 4-5 4-11 5-6 7-8 7-9 7-10 11-12 11-13

Match level : 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:Atom
 11:CLASS 12:Atom 13:CLASS
 Generic attributes :

10:
 Saturation : Unsaturated
 Type of Ring System : Polycyclic
 12:
 Saturation : Unsaturated
 Type of Ring System : Polycyclic

L1 STRUCTURE UPLOADED

--> D L1
 L1 HAS NO ANSWERS
 L1 STR

(FXA) inhibitors containing them
 IN Kobayashi, Shozo; Komoritani, Satoshi; Haginoya, Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu; Nagahara, Takayasu; Yoshikawa, Kenji; Muto, Akira; Ozanai, Takeshi; Nakamoto, Yumi; Mochizuki, Akiyoshi; Nagata, Teutomo
 PA Daiichi Seiyaku Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 304 pp.
 CODEN: JKKXAF
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2001294572	A2	20011023	JP 2000-38100	20000209
PRAI JP 2000-38100		20000209		
OS MARPAT 135:313616				

L3 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS ON STN
 AN 2000:133658 CAPLUS
 DN 132:194391
 TI Preparation of sulfonyl moiety-containing heterocyclic compounds as factor Xa inhibitors
 IN Kobayashi, Syozo; Komoriya, Satoshi; Haginoya, Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu; Nagahara, Takayasu; Nagata, Teutomo; Horino, Haruhiko; Ito, Masayuki; Mochizuki, Akiyoshi
 PA Daiichi Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 883 pp.
 CODEN: PIXX2
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 200009480	A1	20000224	WO 1999-JP4344	19990811
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, VN, YU, ZA, ZW, AM, AZ, BY, BG, BR, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, VN, YU, ZA, ZW, AM, AZ, BY, BG, BR, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, VN, YU, ZA, ZW, AM, AZ, BY, BG, BR, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, 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FULL SCREEN SEARCH COMPLETED - 13332 TO ITERATE

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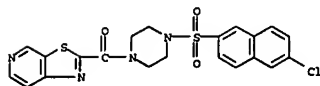
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FILE COVERS 1907 - 10 Jan 2005 VOL 142 ISS 3
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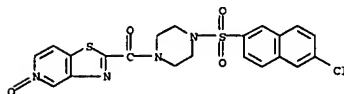
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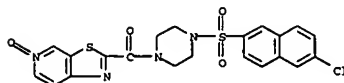


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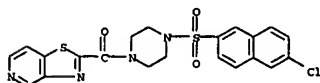
RN 259806-05-8 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(5-oxidothiazolo[4,5-c]pyridin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 782501-36-4 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(5-oxidothiazolo[5,4-c]pyridin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



RN 782501-39-7 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(thiazolo[4,5-c]pyridin-2-yl)carbonyl]-, hydrochloride (2:1) (9CI) (CA INDEX NAME)



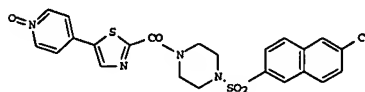
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IT 724706-32-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(factor Xa inhibitors containing pyridine oxide and carbamoylthiazole units)

=> S L5 NOT L3
L6 9 L5 NOT L3

=> D 1-9 IBIB ABS HITSTR

L6 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER: 2004:819171 CAPLUS
DOCUMENT NUMBER: 141:374426
TITLE: Design, synthesis, and biological activity of non-amidine factor Xa inhibitors containing pyridine N-oxide and 2-carbamoylthiazole units
AUTHOR(S): Haginoya, Moriyasu; Kobayashi, Syoto; Komoriya, Satochi; Yoshino, Toohiharu; Nagata, Tautomi; Hirokawa, Yumiko; Nagahara, Takayasu
CORPORATE SOURCE: Medicinal Chemistry Research Laboratory, Daiichi Pharmaceutical Co. Ltd, Edogawa-ku, Tokyo, 134-8630, Japan
SOURCE: Biorganic & Medicinal Chemistry (2004), 12(21), 5579-5586
CODEN: BMBCSP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
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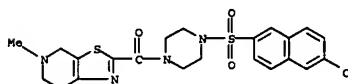


I

AB A series of thiazol-5-ylpyridine deriva. containing pyridine N-oxide and 2-carbamoylthiazole units was synthesized to optimize the S4 binding element on factor Xa. N-Oxidation of thiazol-5-ylpyridine increased the anti-fXa activity more than 10-fold independent on the position of N-oxide. The 4-pyridine N-oxide deriva. excelled over tetrahydrothiazolopyridine in potency. 2-Methylpyridine N-oxide exhibited 49-fold selectivity over thrombin. Our modeling study proposed a binding mode that the pyridine N-oxide ring of I stuck into the cation hole', and the oxide anion of I occupied in the almost same space to that of PKV673. From observations of the SAR and modeling studies, we suggested the possibilities that the formation of hydrogen bond with the oxide anion in the cation hole' and the affinity of cationic pyridine ring to S4 substeite were responsible for increase in anti-fXa activity.

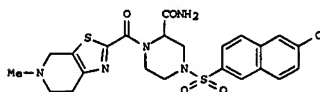
IT 222985-55-9P 259806-05-8P 782501-36-4P
782501-39-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitors containing pyridine oxide and carbamoylthiazole units)
RN 222985-55-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(thiazolo[5,4-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

RN 724706-32-5 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER: 2004:747454 CAPLUS
DOCUMENT NUMBER: 141:395464
TITLE: Synthesis and Conformational Analysis of a Non-Amidine Factor Xa Inhibitor That Incorporates 5-Methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine as S4 Binding Element
AUTHOR(S): Haginoya, Moriyasu; Kobayashi, Syoto; Komoriya, Satochi; Yoshino, Toohiharu; Suzuki, Makoto; Shimada, Takashi; Watanabe, Kengo; Hirokawa, Yumiko; Furugori, Takatoshi; Nagahara, Takayasu
CORPORATE SOURCE: Medicinal Chemistry Research Laboratory, Daiichi Pharmaceutical Co. Ltd, Edogawa-ku, Tokyo, 134-8630, Japan
SOURCE: Journal of Medicinal Chemistry (2004), 47(21), 5167-5182
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
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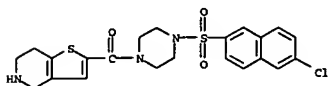


I

AB Our exploratory study was based on the concept that a non-amidine factor Xa (fXa) inhibitor is suitable for an orally available anticoagulant. We synthesized and evaluated a series of N-(6-chloronaphthalen-2-yl)sulfonylpiperazine deriva. incorporating various fused-bicyclic rings containing an aliphatic amine expected to be S4 binding element. Among this series, 5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine type I displayed orally potent anti-fXa activity and evident prolongation of prothrombin time (PT) with the moderate bioavailability in rats. The X-ray crystal anal. afforded an obvious binding mode that 5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine and 6-chloronaphthalene reasp. bound to S4 and S1 substeite. In this X-ray study, we discovered a novel intramol. S-O close contact. Ab initio energy calcs. of model comds. deduced that conformers with the most close S-O proximity were most stable. The Mulliken population anal. proposed that this energy profile was caused by both of electrostatic S-O affinity and N-O

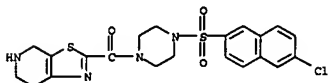
repulsion. The results of these calcs. and X-ray anal. suggested a possibility that the restricted conformation effected the affinity to S4 subunit of FXa.

IT 222985-57-1P 222985-68-4P 222986-13-2P
259805-64-6P 259805-66-8P 790254-82-9P
RL: PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation, factor Xa inhibition activity and structure-activity relationship of (chloronaphthalenyl)sulfonyl)piperazines bearing fused-heterobicyclic rings)
RN 222985-57-1 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



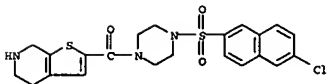
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RN 222985-68-4 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



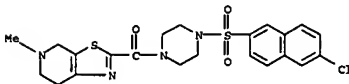
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RN 222986-13-2 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(1,2,3,4-tetrahydro-6-isoquinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



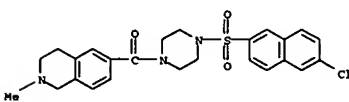
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IT 222985-75-3P 222986-14-3P 259805-67-9P
259805-88-4P 790254-66-9P 790254-72-7P
790254-77-2P 790254-84-1P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, factor Xa inhibition activity and structure-activity relationship of (chloronaphthalenyl)sulfonyl)piperazines bearing fused-heterobicyclic rings)
RN 222985-75-3 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



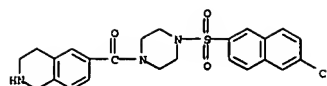
● HCl

RN 222986-14-3 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(1,2,3,4-tetrahydro-2-methyl-6-isoquinolinyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



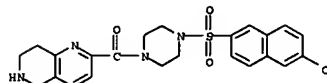
● HCl

RN 259805-67-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methyl-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (10:13) (9CI) (CA INDEX NAME)



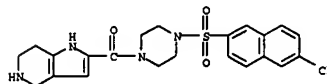
● HCl

RN 259805-64-6 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7,8-tetrahydro-1,6-naphthyridin-2-yl)carbonyl]-, hydrochloride (10:19) (9CI) (CA INDEX NAME)



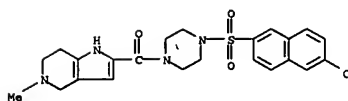
●19/10 HCl

RN 259805-66-8 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-1H-pyrrolo[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)



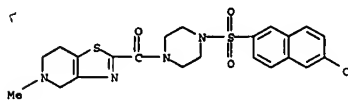
●11/10 HCl

RN 790254-82-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydrothieno[2,3-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



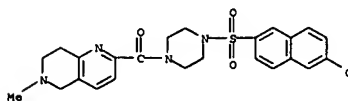
●13/10 HCl

RN 259805-88-4 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methylthiazolo[4,5-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



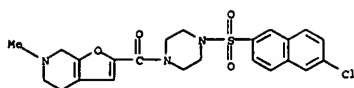
● HCl

RN 790254-66-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(5,6,7,8-tetrahydro-6-methyl-1,6-naphthyridin-2-yl)carbonyl]-, hydrochloride (5:9) (9CI) (CA INDEX NAME)



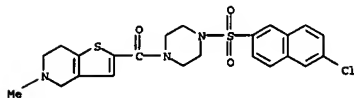
●9/5 HCl

RN 790254-72-7 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-6-methylfuro[2,3-c]pyridin-2-yl)carbonyl]-, hydrochloride (10:11) (9CI) (CA INDEX NAME)



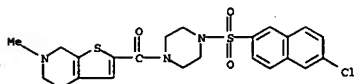
●11/10 HCl

RN 790254-77-2 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methylthieno[3,2-c]pyridin-2-yl)carbonyl]-, hydrochloride (5:6) (9CI) (CA INDEX NAME)



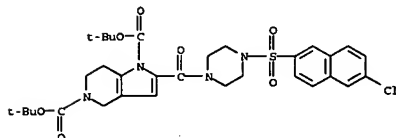
●6/5 HCl

RN 790254-84-1 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-6-methylthieno[2,3-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

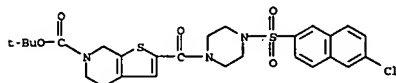


●HCl

IT 222987-38-4P 222987-43-1P 222987-61-3P
259809-48-8P 259809-55-7P 790254-80-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, factor Xa inhibition activity and structure-activity relationship of (chloronaphthalenyl)sulfonyl)piperazines bearing fused-heterobicyclic rings)
RN 222987-38-4 CAPLUS
CN Thieno[3,2-c]pyridine-5(4H)-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-6,7-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

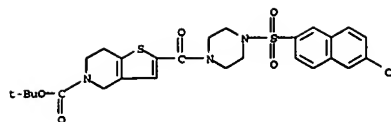
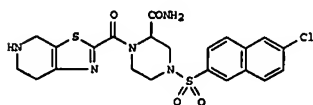


RN 790254-80-7 CAPLUS
CN Thieno[3,2-c]pyridine-6(5H)-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-4,7-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

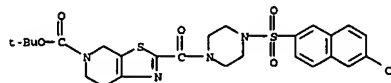


REFERENCE COUNT: 72 THERE ARE 72 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

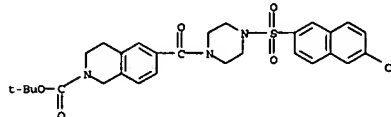
L6 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:362590 CAPLUS
DOCUMENT NUMBER: 141:123587
TITLE: Orally active factor Xa inhibitors:
4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine derivatives
Haginoya, Noriyasu; Kobayashi, Syozo; Komoriya, Satoshi; Hirokawa, Yumiko; Furugori, Takatoshi; Nagahara, Takayasu
CORPORATE SOURCE: Medicinal Chemistry Research Laboratory, Daiichi Pharmaceutical Co. Ltd., Edogawa-ku, Tokyo, 134-8630, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(11), 2935-2939
CODEN: BMCL58; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:123587
GI



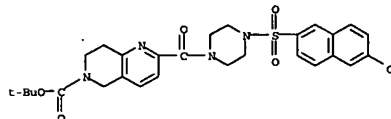
RN 222987-43-1 CAPLUS
CN Thiazolo[5,4-c]pyridine-5(4H)-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-6,7-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 222987-61-3 CAPLUS
CN 2(1H)-isoquinolinecarboxylic acid, 6-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 259809-48-8 CAPLUS
CN 1,6-Naphthyridine-6(5H)-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-7,8-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

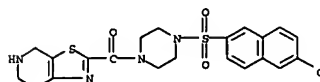


RN 259809-55-7 CAPLUS
CN 1H-Pyrrolo[3,2-c]pyridine-1,5(4H)-dicarboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-6,7-dihydro-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

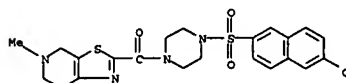
AB In an investigation of factor Xa inhibitors, a series of 1-[(6-chloronaphthalen-2-yl)sulfonyl]-4-(4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine-2-carbonyl)piperazines were synthesized. In vitro inhibitory activities of the compds. against factor Xa and coagulation are summarized. Among these, 4-[[6-chloro-2-naphthalenyl)sulfonyl]-1-[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]-2-piperazinecarboxamide (I) and 4-[[6-chloro-2-naphthalenyl)sulfonyl]-N-methyl-1-[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]-2-piperazinecarboxamide, possessing a carbamoyl or N-methylcarbamoyl moiety, showed potent inhibitory activities when administered orally to rats.

IT 724706-31-4P 724706-32-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [(chloronaphthalenyl)sulfonyl] [(tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]piperazine and study of its activity as orally active factor Xa inhibitor)

RN 724706-31-4 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

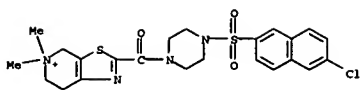


RN 724706-32-5 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



IT 222985-77-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of [(chloronaphthalenyl)sulfonyl]piperazinyl]carbonyl]tetrahydrothiazolo[5,4-c]pyridinium iodide and study of its activity as orally active factor Xa inhibitor)

RN 222985-77-5 CAPLUS
CN Thiazolo[5,4-c]pyridinium, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-4,5,6,7-tetrahydro-5,5-dimethyl-, iodide (9CI) (CA INDEX NAME)



• I -

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RS FORMAT

L6 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2004:353141 CAPLUS

DOCUMENT NUMBER: 140:357508

TITLE: Novel phosphonic acid compounds as inhibitors of serine proteases
INVENTOR(S): Greco, Michael N.; Almond, Harold R.; De Garavilla, Lawrence; Hawkins, Michael J.; Humora, Michael J.; Qian, Yun; Walker, Donald Gilmore; Cesco-Cancian, Sergio; Nilsen, Christopher Norman; Patel, Mitul N.; Sorigi, Kirk Leonard; Powell, Eugene
USA

PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 49 pp., Cont.-in-part of U.S. Pat. Appl. 2003 195,172.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

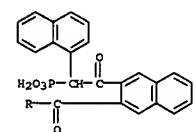
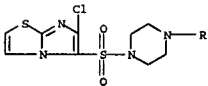
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004082544	A1	20040429	US 2003-414782	20030416
US 2003195172	A1	20031016	US 2002-273208	20021017
WO 2004094441	A2	20041104	WO 2004-US11490	20040414

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPL. INFO.: US 2001-330343P P 20011019
US 2002-273208 B2 20021017
US 2003-414782 A 20030416

OTHER SOURCE(S): MARPAT 140:357508

GI

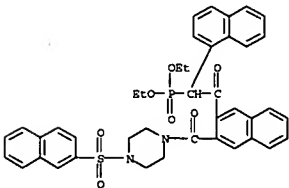


IT 682356-81-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel phosphonic acid compds. as inhibitors of serine proteases)

RN 682356-81-6 CAPLUS

CN Phosphonic acid, [1-(1-naphthalenyl)-2-[3-[[4-(2-naphthalenylsulfonyl)-1-piperazinyl]carbonyl]-2-naphthalenyl]-2-oxoethyl]-, diethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 2002:126365 CAPLUS

DOCUMENT NUMBER: 136:167364

TITLE: Preparation of thienopyridines as intermediates for inhibitors of activated blood coagulation factor X from pyridines

INVENTOR(S): Suzuki, Norio; Yoshioka, Toohiyuki

PATENT ASSIGNEE(S): Daiichi Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

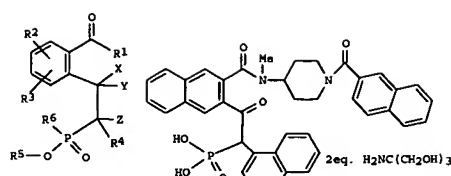
CODEN: JKXXAP

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:



I

II

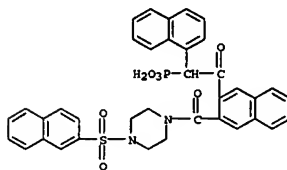
AB The present invention is directed to phosphonic acid compds. I (R1 = amido attached heterocyclyl ring, etc.; R2, R3 = H, C1-8 alkyl, alkoxy, C2-4 alkenyl, halo, OH, etc.; R2R3 = substituted aromatic ring, etc.; R4 = C1-4 alkyl, aryl, heteroaryl, cyano, halo, OH, (halo)1-3(C1-8)alkyl; R5 = H, terminal carbon substituted C1-8 alkyl, etc.; R6 = C1-8 alkyl, aryl(C1-8)alkyl, C1-8 alkoxy, aryl(C1-8)alkoxy, C2-8 alkenyl, C2-8 alkenyloxy, aryl(C2-8)alkenyl, aryl(C2-8)alkenyloxy, aryl, aryloxy, OH; X, Y = independently selected from H, terminal carbon substituted C1-8 alkyl, C1-8 alkoxy, XY = fused spiro cycloalkyl, heterocycloalkyl, etc.; Z = bond, H, C1-8 alkyl, etc.), useful as serine protease inhibitors, compns. thereof and methods for treating inflammatory and serine protease mediated disorders. Thus, preparation of phosphonic acid II was described in several steps starting from di-Et 1-naphthylphosphonate and 2,3-naphthalenedicarboxylic anhydride.

IT RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel phosphonic acid compds. as inhibitors of serine proteases)

RN 682356-68-9 CAPLUS

CN Phosphonic acid, [1-(1-naphthalenyl)-2-[3-[[4-(2-naphthalenylsulfonyl)-1-piperazinyl]carbonyl]-2-naphthalenyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

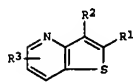


RN 682356-74-7 CAPLUS

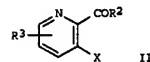
CN Phosphonic acid, [2-[3-[[4-[(6-chloromidazo[2,1-b]thiazol-5-yl)sulfonyl]-1-piperazinyl]-2-naphthalenyl]-1-(1-naphthalenyl)-2-oxoethyl]- (9CI) (CA INDEX NAME)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002053579	A2	20020219	JP 2000-243754	20000811

PRIORITY APPL. INFO.: JP 2000-243754 20000811
OTHER SOURCE(S): CASREACT 136:167364; MARPAT 136:167364
GI



I



II

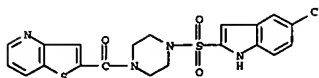
AB Thienopyridines I (R1 = H, lower alkoxy, carbonyl, CO2H; R2, R3 = H, lower alkyl) are prepared by cyclocondensation of pyridines II (R2, R3 = same as above; X = halo) with lower alkyl thioglycolate, followed by optional hydrolysis and decarboxylation. Thus, refluxing 3-fluoro-2-formylpyridine with Et thioglycolate and KO2C in EtOH for 1 h gave 74% I (R1 = CO2Et, R2 = R3 = H), which was hydrolyzed, converted into Li salt, amidated with 1-[(5-chloroindol-2-yl)sulfonyl]piperazine, and treated with HCl/EtOH to afford the corresponding amide HCl salt. The product inhibited activated coagulation factor X with IC50 of 15 nM.

IT RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thienopyridines as intermediates for inhibitors of activated blood coagulation factor X from pyridines)

RN 368440-37-3 CAPLUS

CN Piperazine 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[(thieno[3,2-b]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

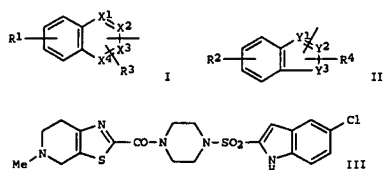


• HCl

L6 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2005 ACS ON STN

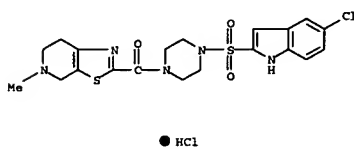
ACCESSION NUMBER: 2001:636077 CAPLUS
 DOCUMENT NUMBER: 135:211057
 TITLE: Preparation of N-(tetrahydrothiazolo[5,4-c]pyridin-2-ylcarbonyl)piperazine derivatives and N-(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-ylmethyl)pyrrolidine derivative and method for inhibiting trypsin-type serine proteases
 INVENTOR(S): Komoriya, Satoshi; Hagino, Noriyasu; Suzuki, Makoto
 PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 234 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062763	A1	20010830	WO 2001-JP1344	20010223
W: AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPL. INFO.: JP 2000-54370			A 20000225	

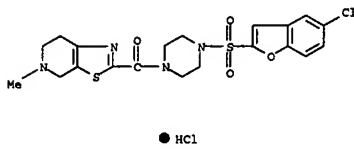


AB Trypsin-type serine protease inhibitors are compds. having groups represented by the general formula (I) or (II) (wherein R1 and R2 are each hydrogen, C1-3 alkyl, halo, C2-3 alkenyl, or ethynyl; or R3 and R4 are each hydrogen, hydroxyl, or amino; X1, X2, X3 and X4 are each CH or N; Y1 and Y2 are each CH or N; and Y3 is NH, O or S). When such a compound is made to act on a trypsin-type serine protease, e.g. factor Xa (Fxa), the group enters the S1 pocket to thereby exert an inhibitory activity against the protease. Thus, to a solution of 400 mg 1-[(5-chloroindol-2-yl)sulfonyl]piperazine in 100 mL DMF were added 1-hydroxybenzotriazole 10.5, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride 194, lithium 5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridinecarboxylate 175, and N-methylmorpholine 86.8 mg, and the resulting mixture was stirred at room temperature for 10 h to give 1-[(5-chloroindol-2-yl)sulfonyl]-4-(5-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-ylcarbonyl)piperazine hydrochloride (III.HCl). III.HCl showed IC50 of 0.005 μ M against human

FXa. X-ray crystallog. anal. of the complexes of human Glu domain-deficient β -Fxa with the above compds. showed that bicyclic aromatic group (e.g. naphthalenyl) and aromatic heterocyclic group (e.g. chloroindolyl) entered into the S1 pocket of the Fxa.
 IT 259805-33-9P 357429-82-4P
 RN: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of (tetrahydrothiazolo[5,4-c]pyridinylcarbonyl)piperazine deriva. and (tetrahydrothieno[3,2-c]pyridinylmethyl)pyrrolidine derivative and method for inhibiting trypsin-type serine proteases)
 RN 259805-33-9 CAPLUS
 CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 357429-82-4 CAPLUS
 CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

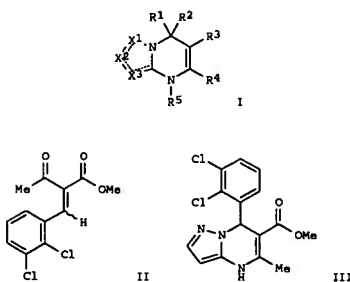


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2001:416942 CAPLUS
 DOCUMENT NUMBER: 135:19660
 TITLE: Preparation of pyrazolo[1,5-a]pyrimidines as potassium channel inhibitors
 INVENTOR(S): Atwal, Karnail S.; Vaccaro, Wayne; Lloyd, John; Finlay, Heather; Yan, Lin; Bhandaru, Rao S.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 298 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1

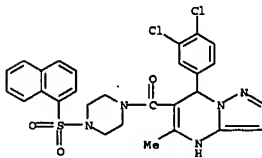
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040231	A1	20010607	WO 2000-US32785	20001204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2393809	AA	20010607	CA 2000-2393809	20001204
EP 1237891	A1	20020911	EP 2000-980930	20001204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL				
BR 2000016166	A	20030624	BR 2000-16166	20001204
JP 2004507442	T2	20040311	JP 2001-540986	20001204
NZ 518663	A	20041126	NZ 2000-518663	20001204
US 2003022890	A1	20030130	US 2000-729731	20001205
US 6706720	B2	20040316		
ZA 2002003407	A	20030925	ZA 2002-3407	20020429
NO 2002002649	A	20020606	NO 2002-2649	20020605
US 200403687	A1	20040401	US 2003-660878	20030912
PRIORITY APPL. INFO.: MARPAT 135:19660				
OTHER SOURCE(S):				
GI				

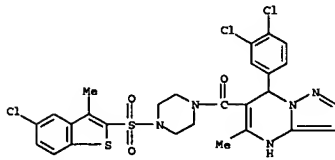


AB The title compds. [I: X1-X3 = N, NRs, (CR7)q, (CHR7)q, CO; R1-R7 = (CH2)n(Z1)m(CH2)pZ2; or R1-R5 may, in one or more pairs of two, together with the atoms to which they are bonded, form (un)substituted carbocyclic, heterocyclic group; or R6 and R7 may, together with the atoms to which they are bonded, form (un)substituted carbocyclic, heterocyclic group; Z1 = O, S, CO, etc.; Z2 = H, NO2, halo, etc.; n, p = 0-10 (when m = 0, p is also 0); m = 0-1; q = 1-3], useful as inhibitors of potassium channel.

function (especially inhibitors of the Kv1 subfamily of voltage gated K⁺ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K⁺ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared. Thus, reacting Me acetoacetate with 2,3-dichlorobenzaldehyde in the presence of piperidine and AcOH in PhMe followed by refluxing the resulting intermediate II with 3-aminopyrazole in 1-propanol afforded the title compound III. The compds. I are effective at 0.001-100 mg/kg/day.
 IT 343244-51-9P 343244-55-3P
 RN: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of pyrazolo[1,5-a]pyrimidines as potassium channel inhibitors)
 RN 343244-51-9 CAPLUS
 CN Piperazine, 1-[(7-(3,4-dichlorophenyl)-4,7-dihydro-5-methylpyrazolo[1,5-a]pyrimidin-6-yl)carbonyl]-4-(1-naphthalenylsulfonyl)- (9CI) (CA INDEX NAME)



RN 343244-55-3 CAPLUS
 CN Piperazine, 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-4-[(7-(3,4-dichlorophenyl)-4,7-dihydro-5-methylpyrazolo[1,5-a]pyrimidin-6-yl)carbonyl]- (9CI) (CA INDEX NAME)



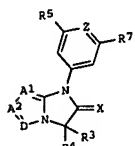
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 2001:78387 CAPLUS
 DOCUMENT NUMBER: 134:131538
 TITLE: Preparation of imidazoimidazoles and triazoles as anti-inflammatory agents
 INVENTOR(S): Wu, Jiang-Ping; Kelly, Terence Alfred; Lemieux, Rene M.; Goldberg, Daniel R.; Emeigh, Jonathan Emilian; Sorecek, Ronald J.

PATENT ASSIGNER(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 368 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007440	A1	20010201	WO 2000-051884	20000712
W:	AL, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, DM, DZ, ES, FI, GB, GD, GE, GR, GU, HK, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6492408	B1	20021210	US 2000-604312	20000627
CA 2383017	AA	20010201	CA 2000-2383017	20000712
BR 2000012666	A	20020409	BR 2000-12666	20000712
EP 1216247	A1	20020626	EP 2000-948618	20000712
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
TR 200200160	T2	20021021	TR 2002-200200160	20000712
JP 2001505460	T2	20030312	JP 2001-512524	20000712
ES 200200028	A	20030415	ES 2002-28	20000712
NZ 517217	A	20040227	NZ 2000-517217	20000712
AU 776496	B2	20040909	AU 2000-62091	20000712
BG 106312	A	20020930	BG 2002-106312	20020116
ZA 2002000428	A	20030117	ZA 2002-428	20020117
NO 2002000275	A	20020204	NO 2002-275	20020118
US 2001203955	A1	20031030	US 2002-195973	20020716
US 6689804	B2	20040210		
US 2004116426	A1	20040617	US 2003-672412	20030925
PRIORITY APPL. INFO.:			US 1999-144905P	P 19990721
			US 1999-150939P	P 19990826
			US 2000-604312	A1 20000627
			WO 2000-US18884	W 20000712
			US 2002-195973	A3 20020716

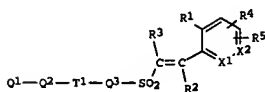
OTHER SOURCE(S): MARPAT 134:131538
GI



AB Comps. I [A1 = N, CH; A2 = N, CH, CR'; R' = halo, cyano, alkoxy, alkoxy, carbonyl, alkylsulfonyl; D = N, CH, CR1, C(S(=O)R1), C(S(=O)R1), C(S(=O)R1), C(S(=O)R1); R1, R2 = (substituted) alkyl, cycloalkyl, aryl, or heterocyclic groups, alkyl groups containing 2-6 carbons substituted with carboxylate, phosphonate, sulfonate, amidine, or

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916747	A1	19990408	WO 1998-JP4411	19980930
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, ES, FI, GB, GD, GE, GR, GU, HK, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2304285	AA	19990408	CA 1998-2304285	19980930
AU 9892806	A1	19990423	AU 1998-92806	19980930
EP 1031563	A1	20000830	EP 1998-945542	19980930
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI			
BR 9815377	A	20010116	BR 1998-15377	19980930
US 6525042	B1	20030225	US 2000-508680	20000328
NO 2000001636	A	20000329	NO 2000-1636	20000329
US 200222808	A1	20031218	US 2002-223978	20021220
PRIORITY APPL. INFO.:			JP 1997-267117	A 19970930
			WO 1998-JP4411	W 19980930
			US 2000-508680	A3 20000328

OTHER SOURCE(S): MARPAT 130:296694
GI



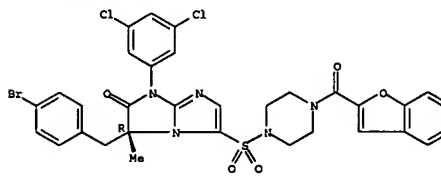
AB The title comps. I [R1 is hydrogen, hydroxyl, nitro or the like; R2 and R3 are each independently hydrogen, halogeno or the like; R4 and R5 are each independently hydrogen, halogeno or the like; Q1 is an optionally substituted saturated or unsat. 5- or 6-membered cyclic hydrocarbon group or the like; Q2 is a single bond, oxygen or the like; Q3 is a heterocyclic moiety (represented by 4 generic structures); T1 is carbonyl or the like; and X1 and X2 are each independently methine or nitrogen] are prepared I speedily exert satisfactory and persistent antithrombotic effects through oral administration and cause few adverse effects. In an in vitro test for inhibition of activated blood coagulation factor X, 1-[(6-chloronaphthalen-2-yl)sulfonyl]-4-[(6-methyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]piperazine hydrochloride showed the Ki value of 6.6 nM.

IT 222985-32-2P 222985-35-5P 222985-36-6P
222985-38-6P 222985-49-1P 222985-50-4P
222985-51-5P 222985-52-6P 222985-53-7P
222985-55-9P 222985-57-1P 222985-64-0P
222985-67-3P 222985-68-4P 222985-69-5P
222985-70-8P 222985-71-9P 222985-73-1P
222985-75-3P 222985-77-5P 222985-79-7P
222985-86-6P 222985-88-8P 222985-89-9P
222985-90-2P 222986-01-8P 222986-04-1P
222986-13-2P 222986-14-3P 222986-15-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic comps. having the sulfonyl group as

guanidine moieties, amino, halogen, cyano; R3 = H, alkyl, cycloalkyl, alkoxy or amino substituted alkyl, cycloalkyl; R4 = substituted arylmethyl; R5 = Cl, F3C; R7 = H, halo, Me, cyano, O2N, F3C; X = O, S; if Z = N or CH, R7 = Cl, F3C, cyano, O2N; Z = N, CR6 where R6 = H, halo, Me, cyano, F3C, based mostly on imidazo[1,2-a]imidazole and imidazo[1,2-a]triazole nuclei; are prepared as inhibitors of the binding of leukointegrins to cell adhesion mole. in the treatment or prevention of inflammatory and immune cell-mediated diseases. S.g., (R)-1 (A1 = N; A2 = D = CH; R3 = Me; R4 = 4-BrC6H4CH2; R5 = R7 = Cl; X = O; Z = CH) (II) was prepared from (R)-α-methyl-4-bromophenylalanine Me ester and 3,5-dichlorophenylisothiocyanate by heating in 1,4-dioxane to give a thiohydantoin which was treated with N-(triphenylphosphoranylidene)-1,3-dioxolan-2-ylmethylamine [prepared from 2-(azidomethyl)-1,3-dioxolane and triphenylphosphine] to give a dioxolanymethylimidazolidinone derivative; treatment of the intermediate with trifluoroacetic acid and heating at 90° overnight gave II with m.p. 36-37.5°. I inhibited binding of leukointegrins to cell adhesion mole. with Kd<10 μM.

IT 321723-06-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazoimidazole and imidazotriazole deriva. as inhibitors of leukointegrin binding to cell adhesion mole. in the treatment of inflammatory and immune-cell mediated diseases)
RN 321723-06-2 CAPLUS
CN Piperazine, 1-(2-benzofuran-3-ylcarbonyl)-4-[(3R)-3-[(4-bromophenyl)methyl]-1-(3,5-dichlorophenyl)-2,3-dihydro-3-methyl-2-oxo-1H-imidazo[1,2-a]imidazol-5-yl)sulfonyl]- (9CI) (CA INDEX NAME)

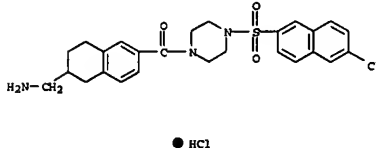
Absolute stereochemistry.



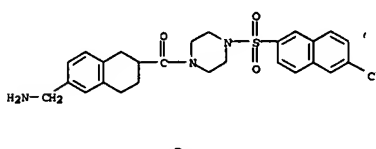
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:233901 CAPLUS
DOCUMENT NUMBER: 130:296694
TITLE: Preparation of heterocyclic compounds having the sulfonyl group as antithrombotics
INVENTOR(S): Kobayashi, Shozo; Komoriya, Satoehi; Ito, Masayuki; Nagata, Tautomu; Mochizuki, Akiyoshi; Haginoya, Noriyasu; Nagahara, Takayasu; Horino, Haruhiko
PATENT ASSIGNER(S): Daiichi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 342 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

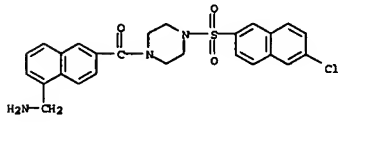
antithrombotics)
RN 222985-32-2 CAPLUS
CN Piperazine, 1-[(6-(aminomethyl)-5,6,7,8-tetrahydro-2-naphthalenyl)carbonyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



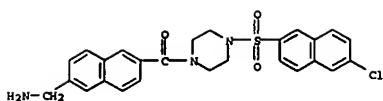
222985-35-5 CAPLUS
Piperazine, 1-[(6-(aminomethyl)-1,2,3,4-tetrahydro-2-naphthalenyl)carbonyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



222985-36-6 CAPLUS
Piperazine, 1-[(5-(aminomethyl)-2-naphthalenyl)carbonyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

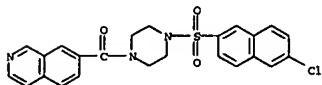


222985-38-8 CAPLUS
Piperazine, 1-[(6-(aminomethyl)-2-naphthalenyl)carbonyl]-4-[(6-chloro-2-naphthalenyl)sulfonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



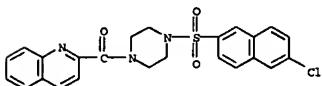
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RN 222985-49-1 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(7-isoquinolinylcarbonyl)]-, monohydrochloride (9CI) (CA INDEX NAME)



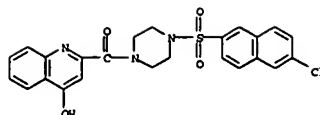
● HCl

RN 222985-50-4 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(2-quinolinylcarbonyl)]-, monohydrochloride (9CI) (CA INDEX NAME)



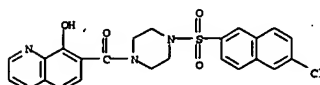
● HCl

RN 222985-51-5 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4-hydroxy-2-quinolinylcarbonyl)]-, monohydrochloride (9CI) (CA INDEX NAME)



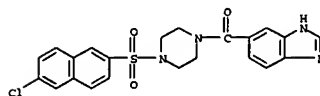
● HCl

RN 222985-52-6 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(8-hydroxy-7-quinolinylcarbonyl)]-, monohydrochloride (9CI) (CA INDEX NAME)



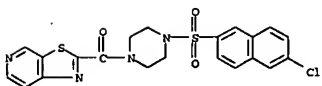
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RN 222985-53-7 CAPLUS
CN Piperazine, 1-[(1H-benzimidazol-5-ylcarbonyl)-4-[(6-chloro-2-naphthalenyl)sulfonyl]]-, monohydrochloride (9CI) (CA INDEX NAME)



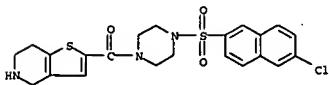
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RN 222985-55-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(thiazolo[5,4-c]pyridin-2-ylcarbonyl)]-, monohydrochloride (9CI) (CA INDEX NAME)



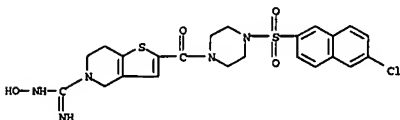
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RN 222985-57-1 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-ylcarbonyl)]-, monohydrochloride (9CI) (CA INDEX NAME)



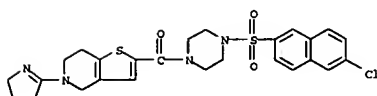
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RN 222985-64-0 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-[(hydroxyamino)iminomethyl]thieno[3,2-c]pyridin-2-ylcarbonyl)]-, monohydrochloride (9CI) (CA INDEX NAME)



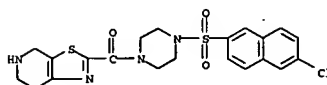
● HCl

RN 222985-67-3 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(5-(3,4-dihydro-2H-pyrrrol-5-yl)-4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-ylcarbonyl)]-, monohydrochloride (9CI) (CA INDEX NAME)



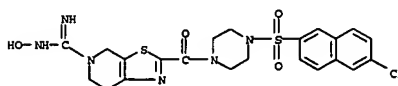
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RN 222985-68-4 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-ylcarbonyl)]-, monohydrochloride (9CI) (CA INDEX NAME)



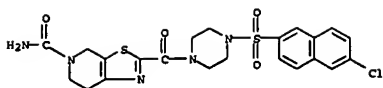
● HCl

RN 222985-69-5 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(4,5,6,7-tetrahydro-5-[(hydroxyamino)iminomethyl]thiazolo[5,4-c]pyridin-2-ylcarbonyl)]-, monohydrochloride (9CI) (CA INDEX NAME)



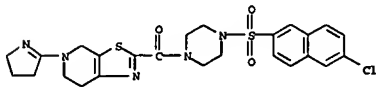
● HCl

RN 222985-70-8 CAPLUS
CN Thiazolo[5,4-c]pyridine-5(4H)-carboxamide, 2-[(4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl)carbonyl]-6,7-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



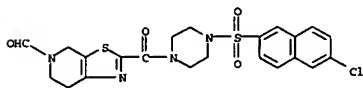
● HCl

RN 222985-71-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[5-(3,4-dihydro-2H-pyrryl-5-yl)-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



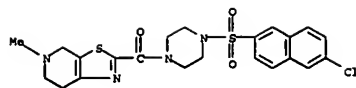
● HCl

RN 222985-73-1 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[5-(4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



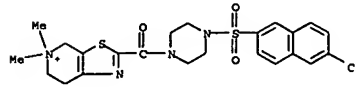
● HCl

RN 222985-75-3 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[5-(4,5,6,7-tetrahydro-5-methylthiazolo[5,4-c]pyridin-2-yl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



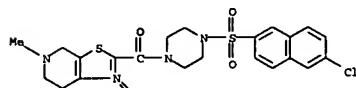
● HCl

RN 222985-77-5 CAPLUS
CN Thiazolo[5,4-c]pyridinium, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-4,5,6,7-tetrahydro-5,5-dimethyl-, iodide (9CI) (CA INDEX NAME)

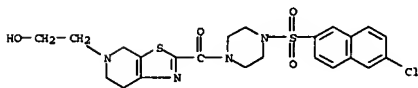


● I-

RN 222985-79-7 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[4,5,6,7-tetrahydro-5-methyl-1-oxidothiazolo[5,4-c]pyridin-2-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

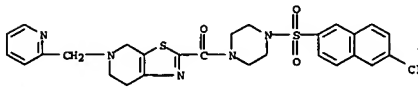


RN 222985-86-6 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[4,5,6,7-tetrahydro-5-(2-hydroxyethyl)thiazolo[5,4-c]pyridin-2-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



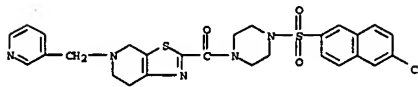
● HCl

RN 222985-88-8 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[5-(2-pyridinylmethyl)thiazolo[5,4-c]pyridin-2-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



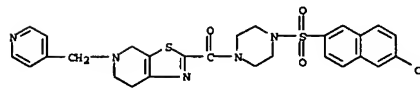
● HCl

RN 222985-89-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[5-(4,5,6,7-tetrahydro-5-(3-pyridinylmethyl)thiazolo[5,4-c]pyridin-2-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



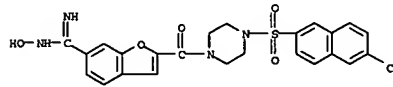
● HCl

RN 222985-90-2 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[5-(4,5,6,7-tetrahydro-5-(4-pyridinylmethyl)thiazolo[5,4-c]pyridin-2-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



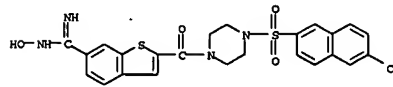
● HCl

RN 222986-01-8 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[6-[(hydroxyamino)iminomethyl]-2-benzofuran-2-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



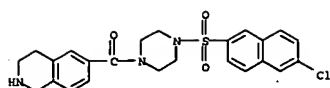
● HCl

RN 222986-04-1 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[6-[(hydroxyamino)iminomethyl]benzo[b]thien-2-yl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



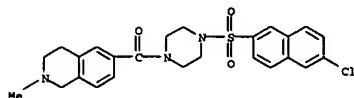
● HCl

RN 222986-13-2 CAPLUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[[1,2,3,4-tetrahydro-6-isoquinolinyl]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



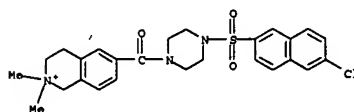
● HCl

RN 222986-14-3 CAPIUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(1,2,3,4-tetrahydro-2-methyl-6-isoquinolyl)carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)



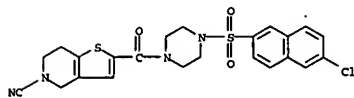
● HCl

RN 222986-15-4 CAPIUS
CN Isoquinolinium, 6-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1,2,3,4-tetrahydro-2,2-dimethyl-, iodide (9CI) (CA INDEX NAME)

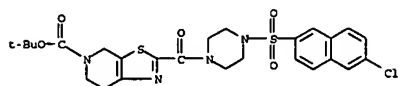


● I-

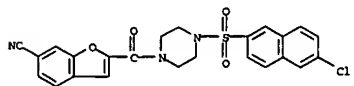
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222987-56-6P 222987-57-7P 222987-61-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic compds. having the sulfonyl group as antithrombotics)
RN 222986-96-1 CAPIUS
CN Carbanic acid, [[6-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-1,2,3,4-tetrahydro-2-naphthalenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



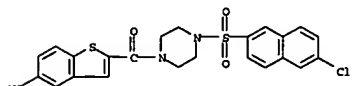
RN 222987-43-1 CAPIUS
CN Thiazolo[5,4-c]pyridine-5(4H)-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-6,7-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 222987-56-6 CAPIUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(5-cyano-2-benzofuranyl)carbonyl]- (9CI) (CA INDEX NAME)

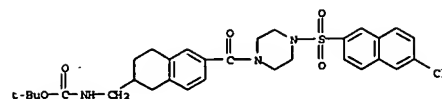


RN 222987-57-7 CAPIUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(5-cyanobenzo(b)thien-2-yl)carbonyl]- (9CI) (CA INDEX NAME)

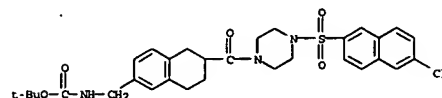


RN 222987-61-3 CAPIUS
CN 2-(1H)-isoquinolinecarboxylic acid, 6-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-3,4-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

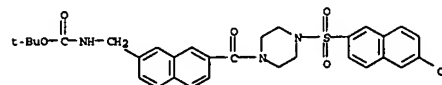
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



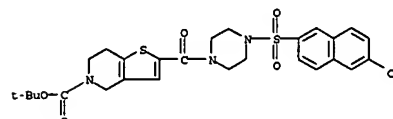
RN 222987-04-4 CAPIUS
CN Carbanic acid, [[6-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-5,6,7,8-tetrahydro-2-naphthalenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



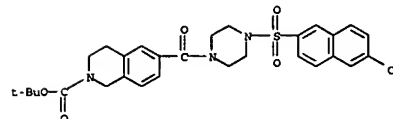
RN 222987-06-6 CAPIUS
CN Carbanic acid, [[7-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-2-naphthalenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 222987-38-4 CAPIUS
CN Thieno[3,2-c]pyridine-5(4H)-carboxylic acid, 2-[[4-[(6-chloro-2-naphthalenyl)sulfonyl]-1-piperazinyl]carbonyl]-6,7-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 222987-40-8 CAPIUS
CN Piperazine, 1-[(6-chloro-2-naphthalenyl)sulfonyl]-4-[(5-cyano-4,5,6,7-tetrahydrothieno[3,2-c]pyridin-2-yl)carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> LOGOFF
ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF
LOGOFF? (Y)/N/HOLD:N

=> FILE MEDLINE
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 45.36 209.98
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL
CA SUBSCRIBER PRICE -6.57 -6.57

FILE 'MEDLINE' ENTERED AT 11:07:16 ON 10 JAN 2005

FILE LAST UPDATED: 8 JAN 2005 (20050108/UP). FILE COVERS 1950 TO DATE.

On December 19, 2004, the 2005 MeSH terms were loaded.

Warning: The search L-number/HUMAN limit is missing from records indexed with the new 2005 MeSH (records added since December 19, 2004). Until this is corrected, include HUMANS/CT and 20041219-20051231/SD in searches to limit results to humans for this time period.

OLDMEDLINE now back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2005 vocabulary. See <http://www.nlm.nih.gov/mesh/> and http://www.nlm.nih.gov/pubs/techbull/nd03/nd03_mesh.html for a description of changes.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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LOGOFF? (Y)/N/HOLD:Y

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FULL ESTIMATED COST 0.38 210.36
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL
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